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Produktinformation

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- Diagnostik & molekulare Diagnostik
- Laborgeräte & Service

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Lieferung & Zahlungsart

siehe unsere Liefer- und Versandbedingungen

Zuschläge

- Mindermengenzuschlag
- Trockeneiszuschlag
- Gefahrgutzuschlag
- Expressversand

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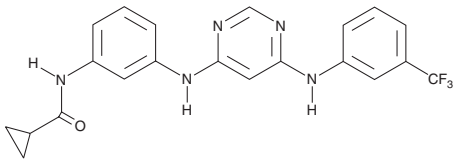
PRODUCT INFORMATION

EGFR Inhibitor

Item No. 15363

CAS Registry No.: 879127-07-8
Formal Name: N-[3-[[6-[[3-(trifluoromethyl)phenyl]amino]-4-pyrimidinyl]amino]phenyl]-cyclopropanecarboxamide
Synonym: Epidermal Growth Factor Receptor Inhibitor, ErbB-1 Inhibitor, HER1 Inhibitor
MF: $C_{21}H_{18}F_3N_5O$
FW: 413.4
Purity: ≥98%
UV/Vis.: λ_{max}: 253, 302 nm
Supplied as: A crystalline solid
Storage: -20°C
Stability: ≥2 years



Information represents the product specifications. Batch specific analytical results are provided on each certificate of analysis.

Laboratory Procedures

EGFR inhibitor is supplied as a crystalline solid. A stock solution may be made by dissolving the EGFR inhibitor in the solvent of choice. EGFR inhibitor is soluble in organic solvents such as ethanol, DMSO, and dimethyl formamide, which should be purged with an inert gas. The solubility of EGFR inhibitor in these solvents is approximately 0.2, 20, and 25 mg/ml, respectively.

EGFR inhibitor is sparingly soluble in aqueous buffers. For maximum solubility in aqueous buffers, EGFR inhibitor should first be dissolved in DMSO and then diluted with the aqueous buffer of choice. EGFR inhibitor has a solubility of approximately 0.25 mg/ml in a 1:3 solution of DMSO:PBS (pH 7.2) using this method. We do not recommend storing the aqueous solution for more than one day.

Description

EGFR inhibitor is a cell permeable, 4,6-disubstituted pyrimidine compound that selectively inhibits the EGFR kinase with an IC_{50} value of 21 nM *in vitro* and blocks receptor autophosphorylation in cells.[1] It can also inhibit the L858R and L861Q EGFR mutants (IC_{50}s = 63 and 4 nM, respectively) yet displays strong selectivity for EGFR against a panel of 55 recombinant kinases (IC_{50}s > 10 µM).[1] Whereas overactivity of EGFR tyrosine kinases has been associated with a number of cancers, inhibition of EGFR has been shown to induce apoptosis by downregulating antiapoptotic proteins such as survivin and upregulating proapoptotic proteins such as Bim.[2,3]

References

1. Zhang, Q., Liu, Y., Gao, F., *et al*. Discovery of EGFR selective 4,6-disubstituted pyrimidines from a combinatorial kinase-directed heterocycle library. *J. Am. Chem. Soc*. **128(7)**, 2182-2183 (2006).
2. Ciardiello, F. and Tortora, G. EGFR antagonists in cancer treatment. *N. Engl. J. Med*. **358(11)**, 1160-1174 (2008).
3. Okamoto, K., Okamoto, I., Okamoto, W., *et al*. Role of survivin in EGFR inhibitor-induced apoptosis in non-small cell lung cancers positive for EGFR mutations. *Cancer Res*. **70(24)**, 10402-10410 (2010).

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